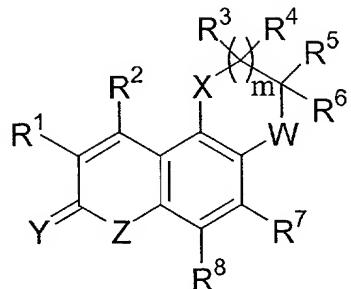
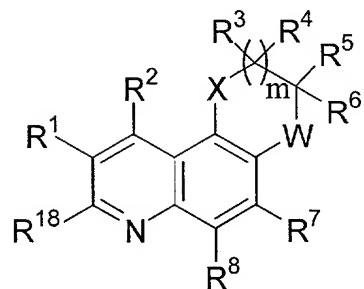


We claim:

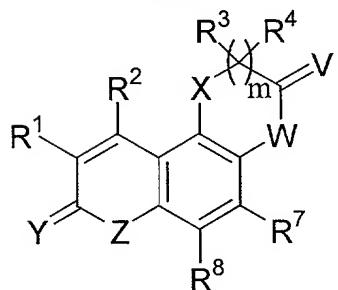
1. A compound having the formula:



OR

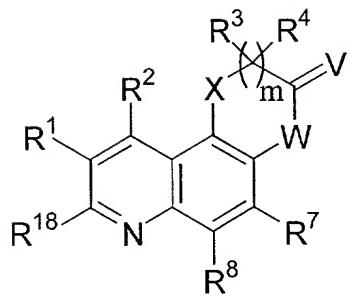


OR



OR

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(IV)

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wherein:

R^1 is selected from the group of hydrogen, F, Cl, Br, I, NO_2 , OR^9 , $NR^{10}R^{11}$, $S(O)_nR^9$, $C_1 - C_8$ alkyl, $C_1 - C_8$ haloalkyl, $C_1 - C_8$ heteroalkyl, $C_3 - C_8$ cycloalkyl, aryl, arylalkyl, heteroaryl, $C_2 - C_8$ alkynyl and $C_2 - C_8$ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, alkynyl and alkenyl groups may be optionally substituted;

R^2 is selected from the group of hydrogen, F, Cl, Br, I, CF_3 , CF_2Cl , CF_2H , CFH_2 , CF_2OR^9 , CH_2OR^9 , OR^9 , $S(O)_nR^9$, $NR^{10}R^{11}$, $C_1 - C_8$ alkyl, $C_1 - C_8$ haloalkyl, $C_1 - C_8$ heteroalkyl, $C_3 - C_8$ cycloalkyl, aryl, arylalkyl, heteroaryl, $C_2 - C_8$ alkynyl and $C_2 - C_8$ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, cycloalkyl aryl, arylalkyl, heteroaryl, alkynyl and alkenyl groups may be optionally substituted;

R^3 and R^4 each independently is selected from the group of hydrogen, OR^9 , $S(O)_nR^9$, $NR^{10}R^{11}$, $C(Y)OR^{11}$, $C(Y)NR^{10}R^{11}$, $C_1 - C_8$ alkyl, $C_1 - C_8$ haloalkyl, $C_1 - C_8$ heteroalkyl, $C_3 - C_8$ cycloalkyl, aryl, arylalkyl, heteroaryl, $C_2 - C_8$ alkynyl and $C_2 - C_8$ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, alkynyl and alkenyl groups may be optionally substituted; or

R^3 and R^4 taken together form a three to eight membered saturated or unsaturated carbocyclic or heterocyclic ring; or

R^3 and R^5 taken together form a three to eight membered saturated or unsaturated carbocyclic ring; or

R³ and R⁶ taken together form a three to eight membered saturated or unsaturated carbocyclic ring; or

R³ and R¹³ taken together form a three to eight membered saturated or unsaturated heterocyclic ring;

5 R⁵ and R⁶ each independently are selected from the group of hydrogen, CF₃, CF₂Cl, CF₂H, CFH₂, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, C₃ – C₈ cycloalkyl, aryl, arylalkyl, heteroaryl, C₂ – C₈ alkynyl and C₂ – C₈ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, alkynyl and alkenyl groups may be optionally substituted; or

10 R⁵ and R⁶ taken together form a three to eight membered saturated or unsaturated carbocyclic ring; or

R⁵ and R¹³ taken together form a three to eight membered saturated or unsaturated heterocyclic ring; or

15 R⁶ and R¹³ taken together form a three to eight membered saturated or unsaturated heterocyclic ring;

R⁷ is selected from the group of hydrogen, F, Cl, Br, I, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, aryl, heteroaryl, OR⁹, S(O)_nR⁹, NR¹⁰R¹¹, C(Y)OR¹¹ and C(Y)NR¹⁰R¹¹, wherein the alkyl, haloalkyl, heteroalkyl, aryl and heteroaryl groups may be optionally substituted;

20 R⁸ is selected from the group of hydrogen, F, Cl, Br, I, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, aryl, heteroaryl, OR⁹, S(O)_nR⁹, NR¹⁰R¹¹, C(Y)OR¹¹ and C(Y)NR¹⁰R¹¹, wherein the alkyl, haloalkyl, heteroalkyl, aryl and heteroaryl groups may be optionally substituted;

25 R⁹ is selected from the group of hydrogen, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, aryl, heteroaryl and arylalkyl, wherein the alkyl, haloalkyl, heteroalkyl, aryl, heteroaryl and arylalkyl groups may be optionally substituted;

R¹⁰ is selected from the group of hydrogen, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, aryl, heteroaryl, arylalkyl, CO₂R¹², C(O)R¹², SO₂R¹² and S(O)R¹², wherein the

alkyl, haloalkyl, heteroalkyl, aryl, heteroaryl and arylalkyl groups may be optionally substituted;

R¹¹ and R¹² each independently is selected from the group of hydrogen, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, aryl, heteroaryl and arylalkyl, wherein the alkyl,

5 haloalkyl, heteroalkyl, aryl, heteroaryl and arylalkyl groups may be optionally substituted;

R¹³ is selected from the group of C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, C₂ – C₈ alkenyl, C₂ – C₈ alkynyl, C₃ – C₈ cycloalkyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl, wherein the alkyl, haloalkyl, heteroalkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl groups may be optionally substituted;

R¹⁶ is selected from the group of hydrogen, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, COR¹⁷, CO₂R¹⁷ and CONR¹²R¹⁷, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted;

R¹⁷ is selected from the group of hydrogen, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl and C₁ – C₈ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted;

R¹⁸ is selected from the group of hydrogen, F, Br, Cl, I, CN, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, OR¹⁶, NR¹⁶R¹⁷, SR¹⁶, CH₂R¹⁶, COR¹⁷, CO₂R¹⁷, CONR¹⁶R¹⁷, SOR¹⁷ and SO₂R¹⁷, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted;

20 R¹⁹ is selected from the group of hydrogen, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, C₂ – C₈ alkenyl, C₂ – C₈ alkynyl, C₃ – C₈ cycloalkyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl, wherein the alkyl, haloalkyl, heteroalkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl groups may be optionally substituted;

m is selected from the group of 0, 1 and 2;

25 n is selected from the group of 0, 1 and 2;

V is selected from the group of O and S;

W is selected from the group of O, S(O)_n, NH, N{R¹³}, N{C(Y)R¹¹} and N{SO₂R¹¹};

X and Z each independently is selected from the group of O, S(O)_n, NH, N{R¹¹}, N{C(Y)R¹¹}, N{SO₂R¹²} and N{S(O)R¹²}; and

Y is selected from the group of O, S, N{R¹⁹} and N{OR¹⁹};

and pharmaceutically acceptable salts thereof.

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2. A compound according to claim 1, wherein R¹ is selected from the group of hydrogen, F, Cl, OR⁹, NR¹⁰R¹¹, S(O)_nR⁹, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

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3. A compound according to claim 2, wherein R¹ is selected from the group of hydrogen, F, Cl, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

4. A compound according to claim 3, wherein R¹ is selected from the group of hydrogen, F and optionally substituted C₁ – C₄ alkyl.

5. A compound according to claim 1, wherein R² is selected from the group of hydrogen, F, Cl, Br, I, CF₃, CF₂Cl, CF₂H, CFH₂, CF₂OR⁹, CH₂OR⁹, OR⁹, S(O)_nR⁹, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl, C₁ – C₆ heteroalkyl, C₂ – C₆ alkynyl and C₂ – C₆ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, alkynyl and alkenyl groups may be optionally substituted.

6. A compound according to claim 5, wherein R² is selected from the group of hydrogen, F, Cl, CF₃, CF₂Cl, CF₂H, CFH₂, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

7. A compound according to claim 6, wherein R² is selected from the group of hydrogen, C₁ – C₂ alkyl, C₁ – C₂ haloalkyl and C₁ – C₂ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

8. A compound according to claim 7, wherein R² is CF₃.

9. A compound according to claim 1, wherein

R^3 is selected from the group of hydrogen, $C_1 - C_6$ alkyl, $C_1 - C_6$ haloalkyl, $C_1 - C_6$ heteroalkyl, $C(Y)OR^{11}$ and $C(Y)NR^{10}R^{11}$, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted; or

R^3 and R^6 taken together form a three to eight membered saturated or unsaturated carbocyclic ring.

10. A compound according to claim 9, wherein R^3 and R^6 taken together form a four to six membered saturated or unsaturated carbocyclic ring.

11. A compound according to claim 9, wherein R³ is selected from the group of hydrogen, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

12. A compound according to claim 1, wherein R⁶ is selected from the group of hydrogen, CF₃, CF₂Cl, CF₂H, CFH₂, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl, C₁ – C₆ heteroalkyl, aryl, arylalkyl, heteroaryl, C₂ – C₆ alkynyl and C₂ – C₆ alkenyl, wherein the alkyl, heteroalkyl, haloalkyl, aryl, arylalkyl, heteroaryl, alkynyl and alkenyl groups may be optionally substituted.

13. A compound according to claim 12, wherein R^6 is selected from the group of hydrogen, CF_3 , CF_2Cl , CF_2H , CFH_2 , $C_1 - C_4$ alkyl, $C_1 - C_4$ haloalkyl, $C_1 - C_4$ heteroalkyl,

C₂ – C₄ alkynyl and C₂ – C₄ alkenyl, wherein the alkyl, heteroalkyl, haloalkyl, alkynyl and alkenyl groups may be optionally substituted.

14. A compound according to claim 13, wherein R⁶ is selected from the group of

5 hydrogen, CF₃, CF₂Cl, CF₂H, CFH₂, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

15. A compound according to claim 12, wherein R⁶ is selected from the group of

aryl, arylalkyl and heteroaryl, wherein the aryl, arylalkyl and heteroaryl groups may be optionally substituted.

16. A compound according to claim 1, wherein R⁵ is selected from the group of

hydrogen, CF₃, CF₂Cl, CF₂H, CFH₂, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl, C₁ – C₆ heteroalkyl, C₂ – C₆ alkynyl, C₂ – C₆ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, alkynyl and alkenyl groups may be optionally substituted.

17. A compound according to claim 16, wherein R⁵ is selected from the group of

hydrogen, CF₃, CF₂Cl, CF₂H, CFH₂, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl and C₁ – C₆ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

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18. A compound according to claim 17, wherein R⁵ is selected from the group of

hydrogen, CF₃, CF₂Cl, CF₂H, CFH₂, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

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19. A compound according to claim 18, wherein R⁵ is hydrogen or CF₃.

20. A compound according to claim 1, wherein R⁷ is selected from the group of hydrogen, F, Cl, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl, groups may be optionally substituted.

5 21. A compound according to claim 1, wherein R⁸ is selected from the group of hydrogen, F, Cl, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl, groups may be optionally substituted

10 22. A compound according to claim 21, wherein R⁷ and R⁸ are each hydrogen or optionally substituted C₁ – C₂ alkyl.

15 23. A compound according to claim 1, wherein R⁹ is selected from the group of hydrogen, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl and C₁ – C₆ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

20 24. A compound according to claim 23, wherein R⁹ is selected from the group of hydrogen and optionally substituted C₁ – C₄ alkyl.

25 25. A compound according to claim 1, wherein R¹⁰ is selected from the group of hydrogen, S(O)R¹², SO₂R¹², C(O)R¹², CO₂R¹², C₁ – C₆ alkyl, C₁ – C₆ haloalkyl and C₁ – C₆ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

26. A compound according to claim 25, wherein R¹⁰ is selected from the group of hydrogen, S(O)R¹², SO₂R¹², C(O)R¹² and CO₂R¹².

27. A compound according to claim 1, wherein R^4 is selected from the group of hydrogen, $C_1 - C_4$ alkyl, $C_1 - C_4$ haloalkyl and $C_1 - C_4$ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

5 28. A compound according to claim 27, wherein R^4 is selected from the group of hydrogen and optionally substituted $C_1 - C_2$ alkyl.

10 29. A compound according to claim 1, wherein R^{13} is selected from the group of CF_3 , CF_2Cl , CF_2H , CFH_2 , CH_2CF_3 , CH_2CF_2Cl , CH_2CCl_2F , $C_1 - C_6$ alkyl, $C_3 - C_6$ cycloalkyl, $C_1 - C_6$ haloalkyl, $C_1 - C_6$ heteroalkyl, $C_2 - C_6$ alkenyl, $C_2 - C_6$ alkynyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl, wherein the alkyl, cycloalkyl, haloalkyl, heteroalkyl, alkenyl, alkynyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl groups may be optionally substituted; or

15 R^6 and R^{13} taken together form a five to seven membered saturated or unsaturated heterocyclic ring.

20 30. A compound according to claim 29, wherein R^{13} is selected from the group of CF_3 , CF_2Cl , CF_2H , CFH_2 , CH_2CF_3 , CH_2CF_2Cl , CH_2CCl_2F , $C_1 - C_4$ alkyl, $C_1 - C_4$ haloalkyl, $C_1 - C_4$ heteroalkyl, $C_2 - C_4$ alkenyl and aryl, wherein the alkyl, haloalkyl, heteroalkyl, alkenyl and aryl groups may be optionally substituted; or

25 R^6 and R^{13} taken together form a five to six membered saturated or unsaturated heterocyclic ring.

31. A compound according to claim 30, wherein R^{13} is selected from the group of CF_3 , CF_2Cl , CF_2H , CFH_2 , CH_2CF_3 , CH_2CF_2Cl , CH_2CCl_2F , methyl, ethyl, propyl, isopropyl, isobutyl, cyclopropylmethyl, allyl; or

35 R^6 and R^{13} taken together form a five membered saturated or unsaturated heterocyclic ring.

32. A compound according to claim 1, wherein R¹⁸ is selected from the group of hydrogen, F, Cl, OR¹⁶, SR¹⁶, NR¹⁶R¹⁷, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

33. A compound according to claim 32, wherein R¹⁸ is selected from the group of hydrogen, F, Cl, OR¹⁶, SR¹⁶ and NR¹⁶R¹⁷.

34. A compound according to claim 33, wherein R¹⁸ is selected from the group of hydrogen, F, Cl and OR¹⁶.

35. A compound according to claim 1, wherein R¹⁹ is selected from the group of hydrogen, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

36. A compound according to claim 35, wherein R¹⁹ is selected from the group of hydrogen and optionally substituted C₁ – C₄ alkyl.

20 37. A compound according to claim 1, wherein m is 0 or 1.

38. A compound according to claim 37, wherein m is 1.

39. A compound according to claim 1, wherein W is selected from the group of
25 NH, N{R¹³}, N{C(Y)R¹¹} and N{SO₂R¹¹}.

40. A compound according to claim 39, wherein W is NH or N{R¹³}.

41. A compound according to claim 1, wherein X is selected from the group of O, S, NH and N{R¹¹}.

42. A compound according to claim 41, wherein X is O or S.

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43. A compound according to claim 1, wherein Y is O or S.

44. A compound according to claim 43, wherein Y is O.

45. A compound according to claim 1, wherein Z is selected from the group of NH, N{R¹¹} and O.

46. A compound according to claim 45, wherein Z is NH or N{R¹¹}.

47. A compound according to claim 1, wherein V is S.

48. A compound according to claim 1, wherein V is O.

49. A compound according to claim 1, wherein:

20 R¹ is selected from the group of hydrogen, F, Cl, OR⁹, S(O)_nR⁹, NR¹⁰R¹¹, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted;

25 R² is selected from the group of hydrogen, F, Cl, Br, I, CF₃, CF₂Cl, CF₂H, CFH₂, CF₂OR⁹, CH₂OR⁹, OR⁹, S(O)_nR⁹, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl, C₁ – C₆ heteroalkyl, C₂ – C₆ alkynyl and C₂ – C₆ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, alkynyl and alkenyl groups may be optionally substituted

R³ is selected from the group of hydrogen, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl, C₁ – C₆ heteroalkyl, C(Y)OR¹¹ and C(Y)NR¹⁰R¹¹, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted; or

R³ and R⁶ taken together form a three to eight membered saturated or unsaturated

5 carbocyclic ring;

R⁵ is selected from the group of hydrogen, CF₃, CF₂Cl, CF₂H, CFH₂, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl, C₁ – C₆ heteroalkyl, C₂ – C₆ alkynyl and C₂ – C₆ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, alkynyl and alkenyl groups may be optionally substituted;

10 R⁶ is selected from the group of hydrogen, CF₃, CF₂Cl, CF₂H, CFH₂, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl, C₁ – C₆ heteroalkyl, aryl, arylalkyl, heteroaryl, C₂ – C₆ alkynyl and C₂ – C₆ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, aryl, arylalkyl, heteroaryl, alkynyl and alkenyl groups may be optionally substituted; or

15 R⁶ and R¹³ taken together form a five to seven membered saturated or unsaturated heterocyclic ring.

50. A compound according to claim 49, wherein:

R⁷ is selected from the group of hydrogen, F, Cl, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted;

20 R⁸ is selected from the group of hydrogen, F, Cl, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted;

25 R¹³ is selected from the group of CF₃, CF₂Cl, CF₂H, CFH₂, CH₂CF₃, CH₂CF₂Cl, CH₂CCl₂F, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl, C₁ – C₆ heteroalkyl, C₃ – C₆ cycloalkyl, C₂ – C₆ alkenyl, C₂ – C₆ alkynyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl, wherein the alkyl, haloalkyl, heteroalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, arylalkyl, and heteroarylalkyl groups may be optionally substituted; or

R⁶ and R¹³ taken together form a five to seven membered saturated or unsaturated heterocyclic ring; and

R¹⁸ is selected from the group of hydrogen, F, Cl, OR¹⁶, SR¹⁶, NR¹⁶R¹⁷, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl, heteroalkyl groups 5 may be optionally substituted.

51. A compound according to claim 50, wherein:

m is 0 or 1;

W is selected from the group of NH, N{R¹³}, N{C(Y)R¹¹} and N{SO₂R¹¹};

X is selected from the group of O, S, NH and N{R¹¹};

Y is O or S; and

Z is selected from the group of NH, N{R¹¹} and O.

52. A compound according to claim 1, wherein said compound is represented by formula (I).

53. A compound according to claim 1, wherein said compound is represented by formula (II).

20 54. A compound according to claim 1, wherein said compound is represented by formula (III).

55. A compound according to claim 1, wherein said compound is represented by formula (IV).

25 56. A compound according to claim 1, wherein said compound is selected from the group of:

(3*R*)-2,3,4,7-Tetrahydro-3-methyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-2,3,4,7-Tetrahydro-3,4-dimethyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

5 (3*R*)-4-Ethyl-2,3,4,7-tetrahydro-3-methyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-2,3,4,7-Tetrahydro-3-methyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

10 (3*R*)-2,3,4,7-Tetrahydro-3-methyl-4-propyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-4-Allyl-2,3,4,7-tetrahydro-3-methyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-3-Ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

15 (3*R*)-3-Ethyl-2,3,4,7-tetrahydro-4-methyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-3,4-Diethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

20 (3*R*)-3-Ethyl-2,3,4,7-tetrahydro-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-4-(2-Chloro-2,2-difluoroethyl)-3-ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-4-(2,2-Difluoroethyl)-3-ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

25 (3*R*)-3-Ethyl-2,3,4,7-tetrahydro-4-propyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one ;

(3*R*)-4-Allyl-3-ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-3-Ethyl-2,3,4,7-tetrahydro-4-isobutyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R/S*)-2,3,4,7-Tetrahydro-3-propyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

5 (3*R/S*)-2,3,4,7-Tetrahydro-4-methyl-3-propyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R/S*)-4-Ethyl-2,3,4,7-tetrahydro-3-propyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

10 (3*R/S*)-2,3,4,7-Tetrahydro-3-propyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-2,3,4,7-Tetrahydro-3-isopropyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

15 (3*R*)-2,3,4,7-Tetrahydro-3-isopropyl-4-methyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-4-Ethyl-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

20 (3*R*)-2,3,4,7-Tetrahydro-3-isopropyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-4-(2-Chloro-2,2-difluoroethyl)-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

25 (3*R*)-4-(2,2-Difluoroethyl)-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-4-Allyl-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-2,3,4,7-Tetrahydro-3-phenyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-2,3,4,7-Tetrahydro-3-phenyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

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(3*R*)-4-Cyclopropylmethyl-2,3,4,7-tetrahydro-3-phenyl-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;
(3*R*)-3-Benzyl-2,3,4,7-tetrahydro-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;
2,3,4,7-Tetrahydro-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
2,3,4,7-tetrahydro-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
(7*aR*,10*aS*)-7,7*a*,8,9,10,10*a*-Hexahydro-1-(trifluoromethyl)-7-(2,2,2-trifluoroethyl)-
4*H*-cyclopenta[5,6][1,4]oxazino[2,3-*f*]quinolin-3-one;
(7*aR*,10*aS*)-7-Ethyl-7,7*a*,8,9,10,10*a*-hexahydro-1-(trifluoromethyl)-4*H*-
cyclopenta[5,6][1,4]oxazino[2,3-*f*]quinolin-3-one;
(7*aR*,10*aS*)-7,7*a*,8,9,10,10*a*-Hexahydro-3-isopropoxy-1-(trifluoromethyl)-7-(2,2,2-
trifluoroethyl)-4*H*-cyclopenta[5,6][1,4]oxazino[2,3-*f*]quinolin-3-one;
(\pm)-(2*S*,3*R*)-2,3,4,7-Tetrahydro-2,3-dimethyl-4-(2,2,2-trifluoroethyl)-10-
(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
(6*aR*)-6*a*,7,8,9-Tetrahydro-4-(trifluoromethyl)-1*H*,6*H*-
pyrrolo[1',2':4,5][1,4]oxazino[2,3-*f*]quinolin-2-one;
2,3,4,7-Tetrahydro-2,2,4-trimethyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
(3*R*)-8-Chloro-3-ethyl-3,4-dihydro-8-isopropoxy-4-(2,2,2-trifluoroethyl)-10-
(trifluoromethyl)-2*H*-[1,4]oxazino[2,3-*f*]quinoline;
(3*R*)-3-Ethyl-3,4-dihydro-8-isopropoxy-8-methoxy-4-(2,2,2-trifluoroethyl)-10-
(trifluoromethyl)-2*H*-[1,4]oxazino[2,3-*f*]quinoline;
(\pm)-2,3,4,7-Tetrahydro-4-(2,2,2-trifluoroethyl)-3,10-bis(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;
(-)-2,3,4,7-Tetrahydro-4-(2,2,2-trifluoroethyl)-3,10-bis(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;

(+)-2,3,4,7-Tetrahydro-4-(2,2,2-trifluoroethyl)-3,10-bis(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;
(±)-2,3,4,7-Tetrahydro-3-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;
5 (±)-2,3,4,7-Tetrahydro-4-methyl-3-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;
(±)-4-Ethyl-2,3,4,7-tetrahydro-3-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;
(±)-2,3,4,7-Tetrahydro-3,4-bis(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;
10 (-)-2,3,4,7-Tetrahydro-3,4-bis(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;
(+)-2,3,4,7-Tetrahydro-3,4-bis(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;
15 (±)-4-Cyclopropylmethyl-2,3,4,7-tetrahydro-3-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
(3*R*)-4-Cyclopropylmethyl-3-ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;
20 (3*R*)-4-(2-Chloroethyl)-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;
(±)-2,3,4,7-Tetrahydro-2-methyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;
25 (3*R*)-3-Ethyl-4-(2-hydroxy-2-methylpropyl)-2,3,4,7-tetrahydro-10-(trifluoromethyl)-
8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one; and
(3*R*)-2,3,4,7-Tetrahydro-3-isobutyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one.

57. A compound according to claim 1, wherein said compound is selected from the group of:

(3*R*)-2,3,4,7-Tetrahydro-3-methyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;

5 (3*R*)-3-Ethyl-2,3,4,7-tetrahydro-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-4-(2-Chloro-2,2-difluoroethyl)-3-ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-
8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

10 (3*R*)-4-(2,2-Difluoroethyl)-3-ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-2,3,4,7-Tetrahydro-3-isopropyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3*R*)-4-(2-Chloro-2,2-difluoroethyl)-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;

15 (3*R*)-4-(2,2-Difluoroethyl)-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;

(7*aR*,10*aS*)-7-Ethyl-7,7*a*,8,9,10,10*a*-hexahydro-1-(trifluoromethyl)-4*H*-
cyclopenta[5,6][1,4]oxazino[2,3-*f*]quinolin-3-one;

20 (7*aR*,10*aS*)-7,7*a*,8,9,10,10*a*-Hexahydro-1-(trifluoromethyl)-7-(2,2,2-trifluoroethyl)-
4*H*-cyclopenta[5,6][1,4]oxazino[2,3-*f*]quinolin-3-one;

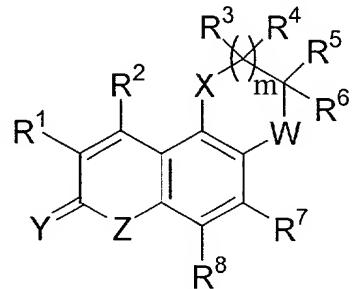
(\pm)-(2*S*,3*R*)-2,3,4,7-Tetrahydro-2,3-dimethyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;

(\pm)-2,3,4,7-Tetrahydro-4-(2,2,2-trifluoroethyl)-3,10-bis(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;

25 (-)-2,3,4,7-Tetrahydro-4-(2,2,2-trifluoroethyl)-3,10-bis(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one;

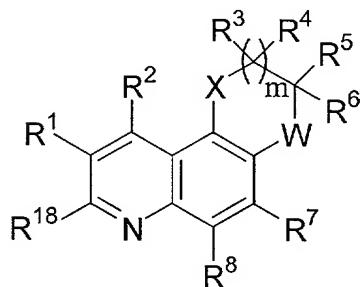
(+)-2,3,4,7-Tetrahydro-4-(2,2,2-trifluoroethyl)-3,10-bis(trifluoromethyl)-8*H*-
[1,4]oxazino[2,3-*f*]quinolin-8-one.

58. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of formula:



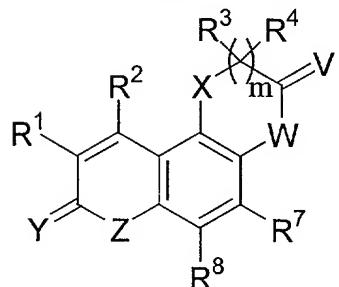
(I)

OR



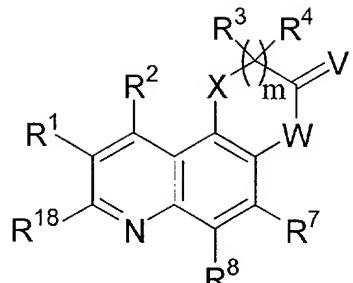
(II)

OR



(III)

OR



(IV)

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wherein:

R¹ is selected from the group of hydrogen, F, Cl, Br, I, NO₂, OR⁹, NR¹⁰R¹¹, S(O)_nR⁹, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, C₃ – C₈ cycloalkyl, aryl, arylalkyl, heteroaryl, C₂ – C₈ alkynyl and C₂ – C₈ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, alkynyl and alkenyl groups may be optionally substituted;

R² is selected from the group of hydrogen, F, Cl, Br, I, CF₃, CF₂Cl, CF₂H, CFH₂, CF₂OR⁹, CH₂OR⁹, OR⁹, S(O)_nR⁹, NR¹⁰R¹¹, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, C₃ – C₈ cycloalkyl, aryl, arylalkyl, heteroaryl, C₂ – C₈ alkynyl and C₂ – C₈ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, cycloalkyl aryl, arylalkyl, heteroaryl, alkynyl and alkenyl groups may be optionally substituted;

R³ and R⁴ each independently is selected from the group of hydrogen, OR⁹, S(O)_nR⁹, NR¹⁰R¹¹, C(Y)OR¹¹, C(Y)NR¹⁰R¹¹, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, C₃ – C₈ cycloalkyl, aryl, arylalkyl, heteroaryl, C₂ – C₈ alkynyl and C₂ – C₈ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, alkynyl and alkenyl groups may be optionally substituted; or

R³ and R⁴ taken together form a three to eight membered saturated or unsaturated carbocyclic or heterocyclic ring; or

R³ and R⁵ taken together form a three to eight membered saturated or unsaturated carbocyclic ring; or

R³ and R⁶ taken together form a three to eight membered saturated or unsaturated carbocyclic ring; or

R³ and R¹³ taken together form a three to eight membered saturated or unsaturated heterocyclic ring;

5 R⁵ and R⁶ each independently are selected from the group of hydrogen, CF₃, CF₂Cl, CF₂H, CFH₂, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, C₃ – C₈ cycloalkyl, aryl, arylalkyl, heteroaryl, C₂ – C₈ alkynyl and C₂ – C₈ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, alkynyl and alkenyl groups may be optionally substituted; or

R⁵ and R⁶ taken together form a three to eight membered saturated or unsaturated carbocyclic ring; or

R⁵ and R¹³ taken together form a three to eight membered saturated or unsaturated heterocyclic ring; or

15 R⁶ and R¹³ taken together form a three to eight membered saturated or unsaturated heterocyclic ring;

R⁷ is selected from the group of hydrogen, F, Cl, Br, I, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, aryl, heteroaryl, OR⁹, S(O)_nR⁹, NR¹⁰R¹¹, C(Y)OR¹¹ and C(Y)NR¹⁰R¹¹, wherein the alkyl, haloalkyl, heteroalkyl, aryl and heteroaryl groups may be optionally substituted;

20 R⁸ is selected from the group of hydrogen, F, Cl, Br, I, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, aryl, heteroaryl, OR⁹, S(O)_nR⁹, NR¹⁰R¹¹, C(Y)OR¹¹ and C(Y)NR¹⁰R¹¹, wherein the alkyl, haloalkyl, heteroalkyl, aryl and heteroaryl groups may be optionally substituted;

25 R⁹ is selected from the group of hydrogen, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, aryl, heteroaryl and arylalkyl, wherein the alkyl, haloalkyl, heteroalkyl, aryl, heteroaryl and arylalkyl groups may be optionally substituted;

R¹⁰ is selected from the group of hydrogen, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, aryl, heteroaryl, arylalkyl, CO₂R¹², C(O)R¹², SO₂R¹² and S(O)R¹², wherein the

alkyl, haloalkyl, heteroalkyl, aryl, heteroaryl and arylalkyl groups may be optionally substituted;

R¹¹ and R¹² each independently is selected from the group of hydrogen, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, aryl, heteroaryl and arylalkyl, wherein the alkyl,

5 haloalkyl, heteroalkyl, aryl, heteroaryl and arylalkyl groups may be optionally substituted;

R¹³ is selected from the group of C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, C₂ – C₈ alkenyl, C₂ – C₈ alkynyl, C₃ – C₈ cycloalkyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl, wherein the alkyl, haloalkyl, heteroalkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl groups may be optionally substituted;

10 R¹⁶ is selected from the group of hydrogen, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, COR¹⁷, CO₂R¹⁷ and CONR¹²R¹⁷, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted;

15 R¹⁷ is selected from the group of hydrogen, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl and C₁ – C₈ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted;

R¹⁸ is selected from the group of hydrogen, F, Br, Cl, I, CN, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, OR¹⁶, NR¹⁶R¹⁷, SR¹⁶, CH₂R¹⁶, COR¹⁷, CO₂R¹⁷, CONR¹⁶R¹⁷, SOR¹⁷ and SO₂R¹⁷, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted;

20 R¹⁹ is selected from the group of hydrogen, C₁ – C₈ alkyl, C₁ – C₈ haloalkyl, C₁ – C₈ heteroalkyl, C₂ – C₈ alkenyl, C₂ – C₈ alkynyl, C₃ – C₈ cycloalkyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl, wherein the alkyl, haloalkyl, heteroalkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl groups may be optionally substituted;

m is selected from the group of 0, 1 and 2;

25 n is selected from the group of 0, 1 and 2;

V is selected from the group of O and S;

W is selected from the group of O, S(O)_n, NH, N{R¹³}, N{C(Y)R¹¹} and N{SO₂R¹¹};

X and Z each independently is selected from the group of O, S(O)_n, NH, N{R¹¹}, N{C(Y)R¹¹}, N{SO₂R¹²} and N{S(O)R¹²}; and

Y is selected from the group of O, S, N{R¹⁹} and N{OR¹⁹};

and pharmaceutically acceptable salts thereof.

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59. A pharmaceutical composition according to claim 58, wherein said composition is suitable for enteral, parenteral, suppository or topical administration.

60. A pharmaceutical composition according to claim 58, wherein R¹ is selected from the group of hydrogen, F, Cl, OR⁹, NR¹⁰R¹¹, S(O)_nR⁹, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

61. A pharmaceutical composition according to claim 1, wherein R² is selected from the group of hydrogen, F, Cl, Br, I, CF₃, CF₂Cl, CF₂H, CFH₂, CF₂OR⁹, CH₂OR⁹, OR⁹, S(O)_nR⁹, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl, C₁ – C₆ heteroalkyl, C₂ – C₆ alkynyl and C₂ – C₆ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, alkynyl and alkenyl groups may be optionally substituted.

20 62. A pharmaceutical composition according to claim 59, wherein R¹ is selected from the group of hydrogen, F and optionally substituted C₁ – C₄ alkyl; and

R² is selected from the group of hydrogen, C₁ – C₂ alkyl, C₁ – C₂ haloalkyl and C₁ – C₂ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

25 63. A pharmaceutical composition according to claim 58, wherein R³ is selected from the group of hydrogen, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl, C₁ – C₆ heteroalkyl, C(Y)OR¹¹

and $C(Y)NR^{10}R^{11}$, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted; or

R^3 and R^6 taken together form a three to eight membered saturated or unsaturated carbocyclic ring.

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64. A pharmaceutical composition according to claim 58, wherein R^6 is selected from the group of hydrogen, CF_3 , CF_2Cl , CF_2H , CFH_2 , $C_1 - C_6$ alkyl, $C_1 - C_6$ haloalkyl, $C_1 - C_6$ heteroalkyl, aryl, arylalkyl, heteroaryl, $C_2 - C_6$ alkynyl and $C_2 - C_6$ alkenyl, wherein the alkyl, heteroalkyl, haloalkyl, aryl, arylalkyl, heteroaryl, alkynyl and alkenyl groups may be optionally substituted.

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65. A pharmaceutical composition according to claim 64, wherein R^6 is selected from the group of hydrogen, CF_3 , CF_2Cl , CF_2H , CFH_2 , $C_1 - C_4$ alkyl, $C_1 - C_4$ haloalkyl, $C_1 - C_4$ heteroalkyl, $C_2 - C_4$ alkynyl and $C_2 - C_4$ alkenyl, wherein the alkyl, heteroalkyl, haloalkyl, alkynyl and alkenyl groups may be optionally substituted.

66. A pharmaceutical composition according to claim 58, wherein R^5 is selected from the group of hydrogen, CF_3 , CF_2Cl , CF_2H , CFH_2 , $C_1 - C_6$ alkyl, $C_1 - C_6$ haloalkyl, $C_1 - C_6$ heteroalkyl, $C_2 - C_6$ alkynyl and $C_2 - C_6$ alkenyl, wherein the alkyl, haloalkyl, heteroalkyl, alkynyl and alkenyl groups may be optionally substituted.

25

67. A pharmaceutical composition according to claim 66, wherein R^5 is selected from the group of hydrogen, CF_3 , CF_2Cl , CF_2H , CFH_2 , $C_1 - C_4$ alkyl, $C_1 - C_4$ haloalkyl and $C_1 - C_4$ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

68. A pharmaceutical composition according to claim 58, wherein R^7 and R^8 each independently is selected from the group of hydrogen, F, Cl, $C_1 - C_4$ alkyl, $C_1 - C_4$ haloalkyl

and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

69. A pharmaceutical composition according to claim 58, wherein

5 R⁹ is selected from the group of hydrogen, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl, C₁ – C₆ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted; and

10 R¹⁰ is selected from the group of hydrogen, S(O)R¹², SO₂R¹², C(O)R¹², CO₂R¹², C₁ – C₆ alkyl, C₁ – C₆ haloalkyl and C₁ – C₆ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

15 70. A pharmaceutical composition according to claim 58, wherein R⁴ is selected from the group of hydrogen, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

20 71. A pharmaceutical composition according to claim 58, wherein R¹³ is selected from the group of CF₃, CF₂Cl, CF₂H, CFH₂, CH₂CF₃, CH₂CF₂Cl, CH₂CCl₂F, C₁ – C₆ alkyl, C₁ – C₆ haloalkyl, C₁ – C₆ heteroalkyl, C₂ – C₆ alkenyl, C₂ – C₆ alkynyl, C₃ – C₆ cycloalkyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl, wherein the alkyl, haloalkyl, heteroalkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl groups may be optionally substituted; or

25 R⁶ and R¹³ taken together form a five to seven membered saturated or unsaturated heterocyclic ring.

72. A pharmaceutical composition according to claim 71, wherein R¹³ is selected from the group of CF₃, CF₂Cl, CF₂H, CFH₂, CH₂CF₃, CH₂CF₂Cl, CH₂CCl₂F, methyl, ethyl, propyl, isopropyl, isobutyl, cyclopropylmethyl, allyl; or

R⁶ and R¹³ taken together form a five membered saturated or unsaturated heterocyclic ring.

73. A pharmaceutical composition according to claim 58, wherein R¹⁸ is selected

5 from the group of hydrogen, F, Cl, OR¹⁶, SR¹⁶, NR¹⁶R¹⁷, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

74. A pharmaceutical composition according to claim 58, wherein R¹⁹ is selected

10 from the group of hydrogen, C₁ – C₄ alkyl, C₁ – C₄ haloalkyl and C₁ – C₄ heteroalkyl, wherein the alkyl, haloalkyl and heteroalkyl groups may be optionally substituted.

75. A pharmaceutical composition according to claim 58, wherein m is 0 or 1.

76. A pharmaceutical composition according to claim 58, wherein

15 W is selected from the group of NH, N{R¹³}, N{C(Y)R¹¹} and N{SO₂R¹¹}; and

X is selected from the group of O, S, NH and N{R¹¹}.

77. A pharmaceutical composition according to claim 58, wherein

20 Y is O or S; and

Z is selected from the group of NH, N{R¹¹} and O.

78. A method of determining the presence of an androgen receptor (AR) in a cell

or cell extract comprising: (a) labeling a compound according to any one of claims 1, 56 or

25 57; (b) contacting the cell or cell extract with said labeled compound; and (c) testing the contacted cell or cell extract to determine the presence of AR.

79. A method for purifying a sample containing an androgen receptor *in vitro*, comprising: (a) contacting said sample with a compound according to any one of claims 1, 56 or 57; (b) allowing said compound to bind to said androgen receptor to form a bound compound/receptor combination; and (c) isolating said bound compound/receptor combination.

80. A method of treating an individual having a condition mediated by an androgen receptor comprising administering to said individual a pharmaceutically effective amount of a compound according to any one of claims 1, 56, or 57.

81. A method according to claim 80, wherein said compound is represented by formula (I).

82. A method according to claim 80, wherein said compound is represented by formula (II).

83. A method according to claim 80, wherein said compound is represented by formula (III).

84. A method according to claim 80, wherein said compound is represented by formula (IV).

85. A method according to claim 80, wherein said condition is selected from the group of acne, male-pattern baldness, sexual dysfunction, impotence, wasting diseases, hirsutism, hypogonadism, prostatic hyperplasia, osteoporosis, cancer cachexia, and hormone-dependent cancers.

86. A method according to claim 80, wherein said condition is alleviated with a therapy selected from the group of male hormone replacement therapy, female androgen replacement therapy and stimulation of hematopoiesis.

5 87. A method of modulating an androgen receptor in an individual comprising administering to said individual an androgen receptor modulating effective amount of a compound according to any one of claims 1, 56, or 57.

10 88. A method according to claim 87, wherein said individual has a condition mediated by an androgen receptor.

15 89. A method according to claim 87, wherein said condition is selected from the group of acne, male-pattern baldness, sexual dysfunction, impotence, wasting diseases, hirsutism, hypogonadism, prostatic hyperplasia, osteoporosis, cancer cachexia, hormone-dependent cancers and a process mediated by an anabolic agent.

20 90. A method according to claim 87, wherein said condition is alleviated with a therapy selected from the group of male hormone replacement therapy, female androgen replacement therapy and stimulation of hematopoiesis.

25 91. A method according to claim 87, wherein said modulation is activation.

92. A method according to claim 91, wherein said individual has a condition mediated by an androgen receptor.

93. A method according to claim 92, wherein said condition is selected from the group of acne, male-pattern baldness, sexual dysfunction, impotence, wasting diseases,

hirsutism, hypogonadism, prostatic hyperplasia, osteoporosis, cancer cachexia, hormone-dependent cancers and a process mediated by an anabolic agent.

94. A method according to claim 92, wherein said condition is alleviated with a

5 therapy selected from the group of male hormone replacement therapy, female androgen replacement therapy and stimulation of hematopoiesis.

95. A method according to claim 91, wherein said compound provides 50% maximal activation of AR at a drug concentration of less than 100 nM.

10 96. A method according to claim 91, wherein said compound provides 50%

maximal activation of AR at a drug concentration of less than 50 nM.

15 97. A method according to claim 91, wherein said compound provides 50%

maximal activation of AR at a drug concentration of less than 20 nM.

98. A method according to claim 91, wherein said compound provides 50%

maximal activation of AR at a drug concentration of less than 10 nM.

20 99. A method according to claim 87, wherein said modulation is inhibition.

100. A method according to claim 99, wherein said individual has a condition

mediated by an androgen receptor.

25 101. A method according to claim 100, wherein said condition is selected from the

group of acne, male-pattern baldness, sexual dysfunction, impotence, wasting diseases, hirsutism, hypogonadism, prostatic hyperplasia, osteoporosis, cancer cachexia, hormone-dependent cancers and a process mediated by an anabolic agent.

101. A method according to claim 100, wherein said condition is alleviated with a therapy selected from the group of male hormone replacement therapy, female androgen replacement therapy and stimulation of hematopoiesis.

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103. A method according to claim 99, wherein said compound provides 50% maximal inhibition of AR at a drug concentration of less than 100 nM.

104. A method according to claim 99, wherein said compound provides 50% maximal inhibition of AR at a drug concentration of less than 50 nM.

105. A method according to claim 99, wherein said compound provides 50% maximal inhibition of AR at a drug concentration of less than 20 nM.

15 106. A method according to claim 99, wherein said compound provides 50% maximal inhibition of AR at a drug concentration of less than 10 nM.

20 107. A method of treating cancer, comprising administering to a patient in need thereof a pharmaceutically effective amount of a compound according to any one of claims 1, 56 or 57.